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ABSTRACT

NOVEL MYCOBACTERIAL INHIBITORS

The present invention relates to novel substituted quinoline derivatives according to the general formula (Ia) or the general formula (Ib)

$$(R^{1})_{p} \xrightarrow{R^{6}} (Z)_{r} \qquad (Ia)$$

$$(R^{1})_{p} \xrightarrow{R^{6}} (Z)_{r} \qquad (Ib)$$

salts, quaternary amines, stereochemically isomeric forms, tautomeric forms and N-oxide forms thereof, wherein R^1 is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; p is 1, 2, 3 or 4; R^2 is hydrogen, hydroxy, thio, alkyloxy, alkyloxy, alkylthio, mono

or di(alkyl)amino or a radical of formula ; R³ is alkyl, Ar, Ar-alkyl, Het or Het-alkyl; R⁴ is hydrogen, alkyl or benzyl; R⁵ is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R⁵ radicals may be taken together to form together with the phenyl ring to which they are attached a naphthyl; r is 1, 2, 3, 4 or 5; R⁶ is hydrogen, alkyl, Ar or Het; R⁶ is hydrogen or alkyl; R⁶ is oxo; or R⁶ and R⁶ taken together form the radical — CH=CH-N=; Z is CH₂ or C(=O). The claimed compounds are useful for the treatment of mycobacterial diseases, particularly those diseases caused by pathogenic mycobacteria such as M. tuberculosis, M. bovis, M. avium, M. smegmatis and M. marinum. Also claimed is a pharmaceutical composition containing a compound of the present invention, the use of the claimed compounds or compositions for the manufacture of a medicament for the treatment of mycobacterial diseases and a process for preparing the claimed compounds.